Claims

[1] An extended-release metformin tablet, comprising:
a. from about 500 mg to about 1000 mg metformin,
b. 5-25% w/w rate-controlling polymer(s), and
c. other pharmaceutically acceptable excipients.

[2] The extended-release tablet according to claim 1 comprising 850 mg metformin.

[3] The extended-release tablet according to claim 1 comprising 1000 mg metformin.

[4] The extended-release tablet according to claim 1 wherein metformin may be in the base form, or in the form of a pharmaceutically acceptable salt.

[5] The extended-release tablet according to claim 4 wherein the pharmaceutically acceptable salt is hydrochloride, fumarate, hydrobromide, succinate or embonate.

[6] The extended-release tablet according to claim 5 wherein the pharmaceutically acceptable salt is hydrochloride.

[7] The extended-release tablet according to claim 1 wherein the rate-controlling polymers may be selected from cellulose derivatives, starch or its derivatives, alginates, acrylic and methacrylic acid derivatives, polyethylene oxide, gums and carbohydrate based polymers.

[8] The extended-release tablet according to claim 7 wherein the rate-controlling polymer is a cellulose derivative.

[9] The extended-release tablet according to claim 8 wherein the cellulose derivative is selected from of ethyl cellulose, methyl cellulose, hydroxymethyl cellulose, hydroxyethylcellulose, hydroxypropyl cellulose, hydroxypropyl methyl cellulose, sodium carboxymethylcellulose or mixtures thereof.

[10] The extended-release tablet according to claim 9 wherein the cellulose derivative is a combination of hydroxypropyl methyl cellulose and sodium carboxymethyl cellulose.

[11] The extended-release tablet according to claim 1 wherein the other pharmaceutically acceptable excipients comprise diluent, binder, lubricant, glidants and flavouring agents.

[12] The extended-release tablet according to claim 11 wherein the binder is selected from starch, mannitol, polyvinyl pyrrolidone, carboxymethyl cellulose, hydroxy alkyl celluloses, dextrin, carbohydrate gums, alginates, polyacrylic acid, polyvinyl alcohol or mixtures thereof.

[13] The extended-release tablets according to claim 11 wherein the diluent is microcrystalline cellulose.

[14] The extended-release tablets according to claim 11 wherein the lubricant is magnesium stearate.

[15] The extended-release tablets according to claim 11 wherein the glidant is colloidal silicon dioxide.

[16] The extended-release tablets according to claim 1 wherein the total tablet weight is not more than 1500 mg.

[17] The extended-release tablets according to claim 1 wherein the tablets release metformin in a controlled manner over 12 hours.

[18] The extended-release tablets according to claim 1 wherein the tablets release metformin over 24 hours.

[19] The extended-release tablets of claim 1 further comprising one or more of sulfonylureas, insulin, glitazones, alpha-glucosidase inhibitors, meglitinides, fibrates, statins, squalene synthesis inhibitors and angiotensin-converting enzyme inhibitors.

[20] A process for preparing extended-release metformin tablets, comprising:

a. blending metformin, 5-25% w/w rate-controlling polymers and other pharmaceutically acceptable excipients,

b. compacting / slugging,

c. milling or crushing the compacted / slugged material of step (b) into granules, and

d. lubricating and compressing the granules to form tablets.

[21] The process according to claim 20 wherein the extended-release tablets comprise 850 mg metformin.

[22] The process according to claim 20 wherein the extended-release tablets comprise 1000 mg metformin.

[23] The process according to claim 20 wherein metformin may be in its base form, or in the form of a pharmaceutically acceptable salt.

[24] The process according to claim 23 wherein the pharmaceutically acceptable salt is hydrochloride, fumarate, hydrobromide, succinate or embonate.

[25] The process according to claim 24 wherein the pharmaceutically acceptable salt is hydrochloride.

[26] The process according to claim 20 wherein rate-controlling polymers may be selected from cellulose derivatives, starch or its derivatives, alginates, acrylic and methacrylic acid derivatives, polyethylene oxide, gums and carbohydrate based polymers.

[27] The process according to claim 26 wherein the rate-controlling polymer is a cellulose derivative.

[28] The process according to claim 27 wherein the cellulose derivative is selected from ethyl cellulose, methyl cellulose, hydroxymethyl cellulose, hydroxyethyl-cellulose, hydroxypropyl cellulose, hydroxypropyl methyl cellulose, sodium carboxymethylcellulose or mixtures thereof.

[29] The process according to claim 28 wherein the cellulose derivative is a combination of hydroxypropyl methyl cellulose and sodium carboxymethyl cellulose.

[30] The process according to claim 20 wherein the other pharmaceutically acceptable excipients comprise diluent, binder, lubricant, glidants and flavouring agents.

[31] The process according to claim 30 wherein the binders are selected from starch, mannitol, polyvinyl pyrrolidone, carboxymethyl cellulose, hydroxy alkyl celluloses, dextrin, carbohydrate gums, alginates, polyacrylic acid, polyvinylalcohol or mixtures thereof.

[32] The process according to claim 30 wherein the diluent is microcrystalline cellulose.

[33] The process according to claim 30 wherein the lubricant is magnesium stearate.

[34] The process according to claim 30 wherein the glidant is colloidal silicon dioxide.

[35] The process according to claim 20 wherein tablets are prepared by compaction.

[36] The process according to claim 20 wherein tablets are prepared by roller compaction.

[37] The process according to claim 20 wherein the total tablet weight is not more than 1500 mg.

[38] The process according to claim 20 wherein the tablets release metformin in a controlled manner over 12 hours.

[39] The process according to claim 20 wherein the tablets release metformin over 24 hours.

[40] The process of claim 20 further comprising one or more of sulfonylureas, insulin, glitazones, alpha-glucosidase inhibitors, meglitinides, fibrates, statins, squalene synthesis inhibitors and angiotensin-converting enzyme inhibitors.

[41] A monolithic extended-release metformin tablet, comprising:

a. from about 500 mg to about 1000 mg metformin,

b. 5-25% w/w rate-controlling polymer(s), and

c. other pharmaceutically acceptable excipients.

[42] The extended-release tablet according to claim 41 comprising 850 mg metformin.

[43] The extended-release tablet according to claim 41 comprising 1000 mg metformin.

[44] The extended-release tablet according to claim 41 wherein metformin may be selected in its base form, or in the form of a pharmaceutically acceptable salt.

[45] The extended-release tablet according to claim 44 wherein the pharmaceutically acceptable salt is hydrochloride, fumarate, hydrobromide, succinate or embonate.

[46] The extended-release tablet according to claim 45 wherein the pharmaceutically acceptable salt is hydrochloride.

[47] The extended-release tablet according to claim 41 wherein the rate-controlling polymers may be selected from cellulose derivatives, starch or its derivatives,

alginates, acrylic and methacrylic acid derivatives, polyethylene oxide, gums and carbohydrate based polymers.

[48] The extended-release tablet according to claim 47 wherein the rate controlling polymer is a cellulose derivative.

[49] The extended-release tablet according to claim 48 wherein the cellulose derivative is selected from ethyl cellulose, methyl cellulose, hydroxymethyl cellulose, hydroxyethylcellulose, hydroxypropyl cellulose, hydroxypropyl methyl cellulose, sodium carboxymethylcellulose or mixtures thereof.

[50] The extended-release tablet according to claim 49 wherein the cellulose derivative is a combination of hydroxypropyl methyl cellulose and sodium carboxymethyl cellulose.

[51] The extended-release tablet according to claim 41 wherein the other pharmaceutically acceptable excipients comprise diluent, binder, lubricant, glidants and flavouring agents.

[52] The extended-release tablet according to claim 51 wherein the binder is selected from starch, mannitol, polyvinyl pyrrolidone, carboxymethyl cellulose, hydroxy alkyl celluloses, dextrin, carbohydrate gums, alginates, polyacrylic acid, polyvinyl alcohol or mixtures thereof.

[53] The extended-release tablets according to claim 51 wherein the diluent is microcrystalline cellulose.

[54] The extended-release tablets according to claim 51 wherein the lubricant is magnesium stearate.

[55] The extended-release tablets according to claim 51 wherein the glidant is colloidal silicon dioxide.

[56] The extended-release tablets according to claim 41 wherein the total tablet weight is not more than 1500 mg.

[57] The extended-release tablets according to claim 41 wherein the tablets release metformin in a controlled manner over 12 hours.

[58] The extended-release tablets according to claim 41 wherein the tablets release metformin over 24 hours.

[59] The extended-release tablets of claim 41 further comprising one or more of sulfonylureas, insulin, glitazones, alpha-glucosidase inhibitors, meglitinides, fibrates, statins, squalene synthesis inhibitors and angiotensin-converting enzyme inhibitors.

[60] A method for the treatment of non-insulin dependent diabetes mellitus in a patient in need thereof, comprising administering extended-release metformin tablets, comprising:

a. more than 500 mg metformin,

b. 5-25% w/w rate-controlling polymer(s), and

c. other pharmaceutically acceptable excipients.

[61] The method according to claim 60 wherein the tablets may further include one or more of sulfonylureas, insulin, glitazones, alpha-glucosidase inhibitors, meglitinides, fibrates, statins, squalene synthesis inhibitors and angiotensin-converting enzyme inhibitors.